



# **STIC Search Report**

## **Biotech-Chem Library**

STIC Database Tracking Number 163839

**TO: Elli Peselev**  
**Art Unit: 1623**  
**Location: REM/5A15/5C18**  
**Serial Number: 10/616945**

**Thursday, May 19, 2005**

**From: Beverly Shears**  
**Location: Biotech-Chem Library**  
**REM 1A54**  
**Phone: 571-272-2528**  
**beverly.shears@uspto.gov**

### **Search Notes**

## Scientific and Technical Information Center

## SEARCH REQUEST FORM

Requester's Full Name: ELL. Pesel Examiner #: 62218 Date: 5/13/05  
 Art Unit: 1623 Phone Number: 2-0659 Serial Number: 10/616,945  
 Location (Bldg/Room#): REM 5A15 (Mailbox #): \_\_\_\_\_ Results Format Preferred (circle): PAPER DISK  
 \*\*\*\*\*  
REM 5C18

To ensure an efficient and quality search, please attach a copy of the cover sheet, claims, and abstract or fill out the following:

Title of Invention: See attached

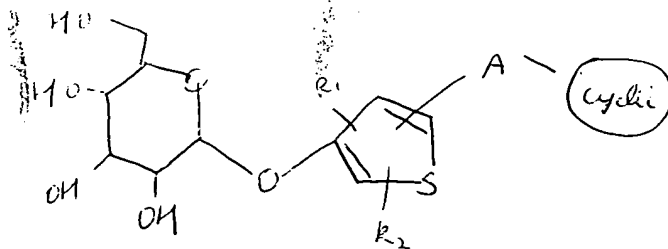
Inventors (please provide full names): \_\_\_\_\_

Earliest Priority Date: \_\_\_\_\_

## Search Topic:

Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc., if known.

\*For Sequence Searches Only\* Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.



SERIAL NUMBER 10/616,945	FILING OR 371(c) DATE 07/11/2003 RULE	CLASS 514	GROUP ART UNIT 1614	ATTORNEY DOCKET NO. 02481.1832
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APPLICANTS  
 Heiner Glombik, Hofheim, GERMANY;  
 Wendelin Frick, Hunstetten-Beuerbach, GERMANY;  
 Hubert Heuer, Schwabenheim, GERMANY;  
 Werner Kramer, Mainz-Laubenheim, GERMANY;  
 Harm Brummerhop, Frankfurt, GERMANY;  
 Oliver Plettenburg, Hattersheim, GERMANY;

\*\* CONTINUING DATA \*\*\*\*\*

\*\* FOREIGN APPLICATIONS \*\*\*\*\*  
 GERMANY 10231370.9-43 07/11/2002

IF REQUIRED, FOREIGN FILING LICENSE GRANTED  
 \*\* 11/24/2003

## STAFF USE ONLY

Searcher: Beverly 2528

Searcher Phone #: \_\_\_\_\_

Searcher Location: \_\_\_\_\_

## Type of Search

\_\_\_\_ NA Sequence (#)

\_\_\_\_ AA Sequence (#)

\_\_\_\_ Structure (#)

## Vendors and cost where applicable

☒ STN ☐ Dialog

☐ Questel/Orbit ☐ Lexis/Nexis

☐ Westlaw ☐ WWW/Internet

In-house sequence systems

10/616945

FILE 'REGISTRY' ENTERED AT 09:44:51 ON 19 MAY 2005  
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Property values tagged with IC are from the ZIC/VINITI data file  
provided by InfoChem.

STRUCTURE FILE UPDATES: 18 MAY 2005 HIGHEST RN 850688-83-4  
DICTIONARY FILE UPDATES: 18 MAY 2005 HIGHEST RN 850688-83-4

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 18, 2005

Please note that search-term pricing does apply when  
conducting SmartSELECT searches.

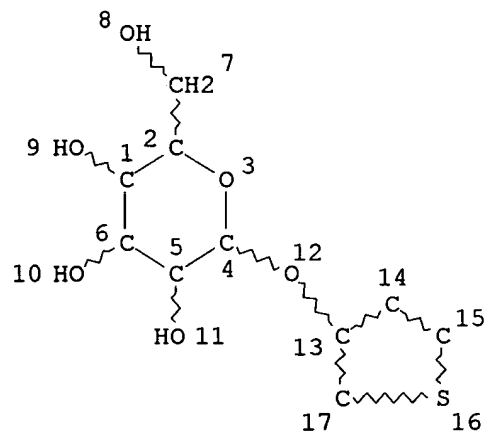
\*\*\*\*\*  
\*  
\* The CA roles and document type information have been removed from \*  
\* the IDE default display format and the ED field has been added, \*  
\* effective March 20, 2005. A new display format, IDERL, is now \*  
\* available and contains the CA role and document type information. \*  
\*  
\*\*\*\*\*

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more  
information enter HELP PROP at an arrow prompt in the file or refer  
to the file summary sheet on the web at:  
<http://www.cas.org/ONLINE/DBSS/registryss.html>

L1

STR



NODE ATTRIBUTES:  
DEFAULT MLEVEL IS ATOM  
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:  
RING(S) ARE ISOLATED OR EMBEDDED  
NUMBER OF NODES IS 17

Searcher : Shears 571-272-2528

10/616945

STEREO ATTRIBUTES: NONE

L3 58 SEA FILE=REGISTRY SSS FUL L1

L4 58 SEA FILE=REGISTRY ABB=ON PLU=ON L3 AND NR=>3

At least three (3)  
rings present

FILE 'CAPLUS' ENTERED AT 09:44:56 ON 19 MAY 2005

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FILE COVERS 1907 - 19 May 2005 VOL 142 ISS 21

FILE LAST UPDATED: 18 May 2005 (20050518/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

L5 1 L4

L5 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:60527 CAPLUS

DOCUMENT NUMBER: 140:111628

TITLE: Synthesis and therapeutic evaluation of thiophene glycosides for use in the treatment of diabetes or for lowering blood sugar levels

INVENTOR(S): Glombik, Heiner; Frick, Wendelin; Heuer, Hubert; Kramer, Werner; Brummerhop, Harm; Plettenburg, Oliver

PATENT ASSIGNEE(S): Aventis Pharma Deutschland GmbH, Germany

SOURCE: PCT Int. Appl., 84 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004007517	A1	20040122	WO 2003-EP6841	20030627
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,				

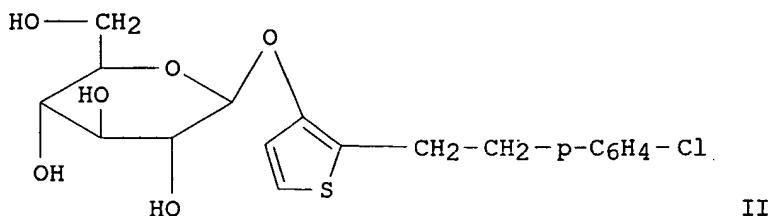
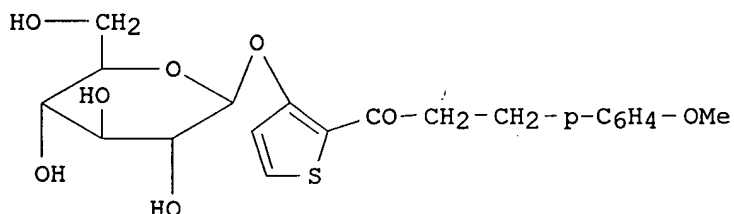
Searcher : Shears 571-272-2528

10/616945

BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,  
EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE,  
SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR,  
NE, SN, TD, TG

DE 10231370	A1	20040205	DE 2002-10231370	20020711
CA 2493391	AA	20040122	CA 2003-2493391	20030627
BR 2003012513	A	20050412	BR 2003-12513	20030627
EP 1523488	A1	20050420	EP 2003-763662	20030627
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
US 2004138143	A1	20040715	US 2003-616945	20030711
PRIORITY APPLN. INFO.:			DE 2002-10231370	A 20020711
			WO 2003-EP6841	W 20030627

OTHER SOURCE(S): MARPAT 140:111628  
GI



AB Title compds., e.g. (I), and their physiol.-acceptable salts, were prepared and evaluated for use in lowering blood sugar levels and for use as anti-diabetics. Thus, 2-acetyl-3-hydroxythiophene was reacted with tetra-O-acetyl- $\alpha$ -D-glucopyranosyl bromide and the resulting intermediate O-deprotected to give I. Compound (II) was prepared by similar methods. In in vitro tests measuring the uptake of  $^{14}$ C-labeled glucose using rabbit, rat, or pig intestinal brush-border membranes, II had IC<sub>25</sub> 0.9  $\mu$ M.

IT 647834-13-7P 647834-14-8P 647834-15-9P  
647834-17-1P 647834-19-3P 647834-40-0P  
647834-48-8P 647834-49-9P 647834-50-2P  
647834-52-4P 647834-55-7P 647834-59-1P  
647834-61-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

Searcher : Shears 571-272-2528

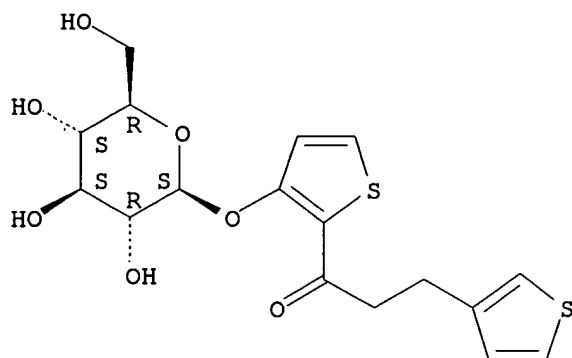
10/616945

(preparation and therapeutic evaluation of thiophene glycosides for use in the treatment of diabetes or for lowering blood sugar levels)

RN 647834-13-7 CAPLUS

CN 1-Propanone, 1-[3-( $\beta$ -D-glucopyranosyloxy)-2-thienyl]-3-(3-thienyl)- (9CI) (CA INDEX NAME)

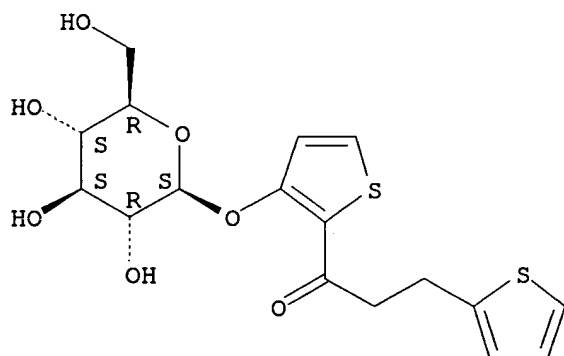
Absolute stereochemistry.



RN 647834-14-8 CAPLUS

CN 1-Propanone, 1-[3-( $\beta$ -D-glucopyranosyloxy)-2-thienyl]-3-(2-thienyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

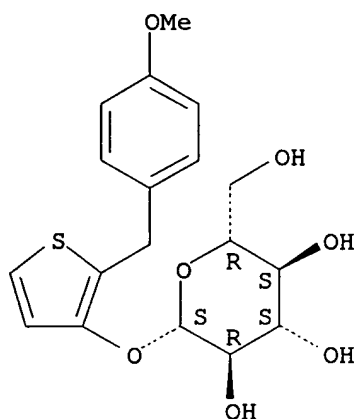


RN 647834-15-9 CAPLUS

CN  $\beta$ -D-Glucopyranoside, 2-[(4-methoxyphenyl)methyl]-3-thienyl (9CI) (CA INDEX NAME)

Absolute stereochemistry.

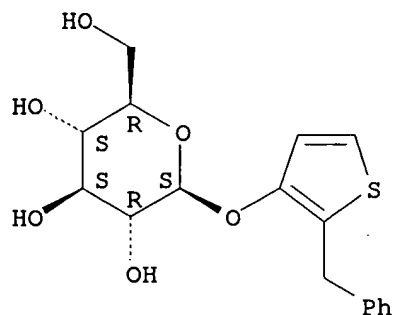
10/616945



RN 647834-17-1 CAPLUS

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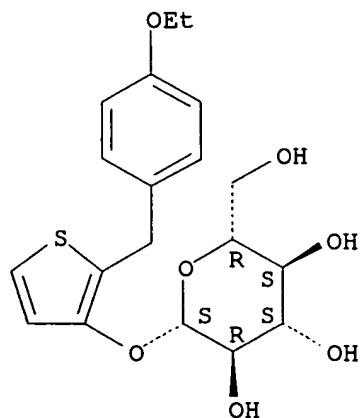
Absolute stereochemistry.



RN 647834-19-3 CAPLUS

CN  $\beta$ -D-Glucopyranoside, 2-[(4-ethoxyphenyl)methyl]-3-thienyl (9CI) (CA INDEX NAME)

Absolute stereochemistry.



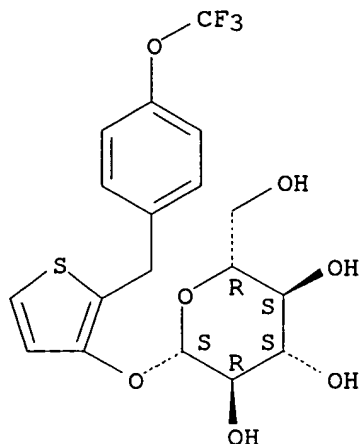
Searcher : Shears 571-272-2528

10/616945

RN 647834-40-0 CAPLUS

CN  $\beta$ -D-Glucopyranoside, 2-[[4-(trifluoromethoxy)phenyl]methyl]-3-thienyl (9CI) (CA INDEX NAME)

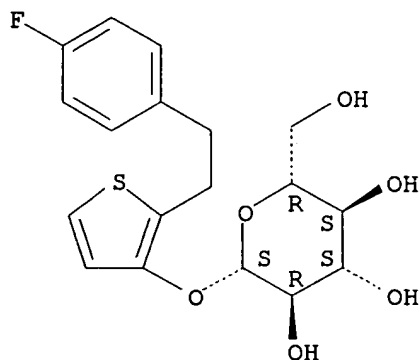
Absolute stereochemistry.



RN 647834-48-8 CAPLUS

CN  $\beta$ -D-Glucopyranoside, 2-[2-(4-fluorophenyl)ethyl]-3-thienyl (9CI) (CA INDEX NAME)

Absolute stereochemistry.



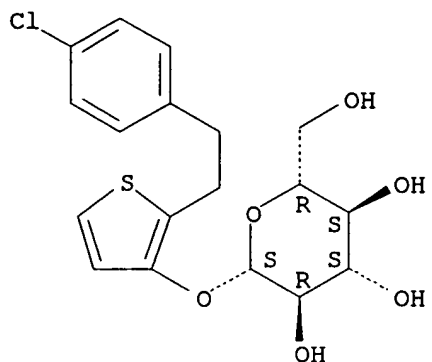
RN 647834-49-9 CAPLUS

CN  $\beta$ -D-Glucopyranoside, 2-[2-(4-chlorophenyl)ethyl]-3-thienyl (9CI) (CA INDEX NAME)

Absolute stereochemistry.



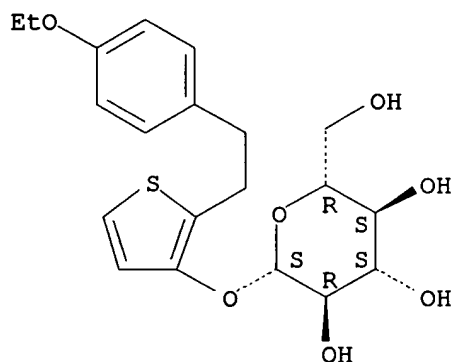
10/616945



RN 647834-50-2 CAPLUS

CN  $\beta$ -D-Glucopyranoside, 2-[2-(4-ethoxyphenyl)ethyl]-3-thienyl (9CI)  
(CA INDEX NAME)

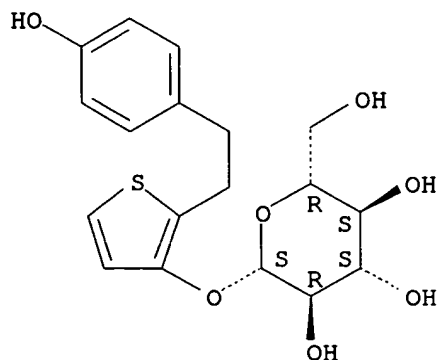
Absolute stereochemistry.



RN 647834-52-4 CAPLUS

CN  $\beta$ -D-Glucopyranoside, 2-[2-(4-hydroxyphenyl)ethyl]-3-thienyl (9CI)  
(CA INDEX NAME)

Absolute stereochemistry.



RN 647834-55-7 CAPLUS

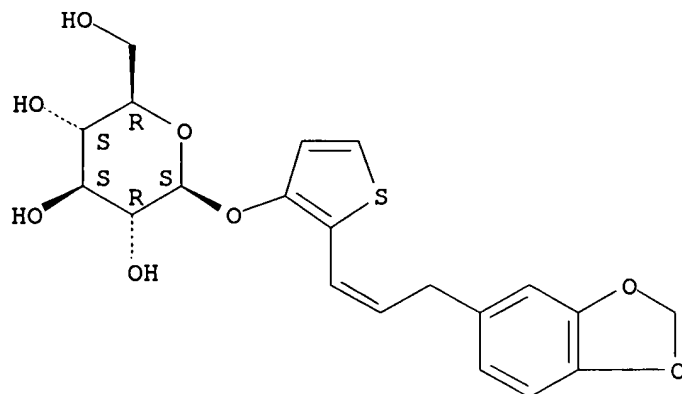
Searcher : Shears 571-272-2528

10/616945

CN  $\beta$ -D-Glucopyranoside, 2-[3-(1,3-benzodioxol-5-yl)-1-propenyl]-3-thienyl (9CI) (CA INDEX NAME)

Absolute stereochemistry.

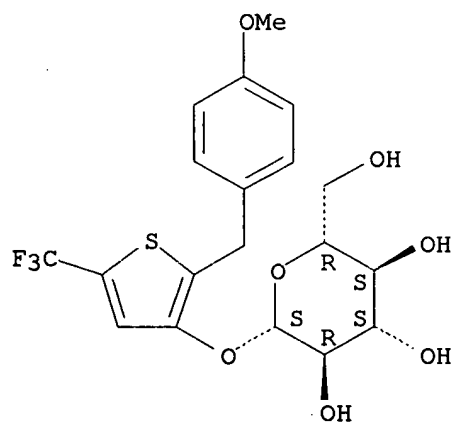
Double bond geometry unknown.



RN 647834-59-1 CAPLUS

CN  $\beta$ -D-Glucopyranoside, 2-[(4-methoxyphenyl)methyl]-5-(trifluoromethyl)-3-thienyl (9CI) (CA INDEX NAME)

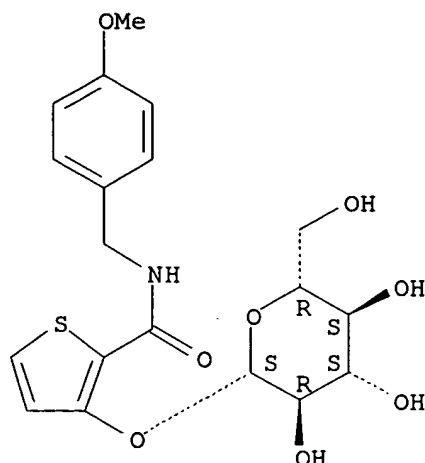
Absolute stereochemistry.



RN 647834-61-5 CAPLUS

CN 2-Thiophenecarboxamide, 3-( $\beta$ -D-glucopyranosyloxy)-N-[(4-methoxyphenyl)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 647833-67-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);  
 RACT (Reactant or reagent)

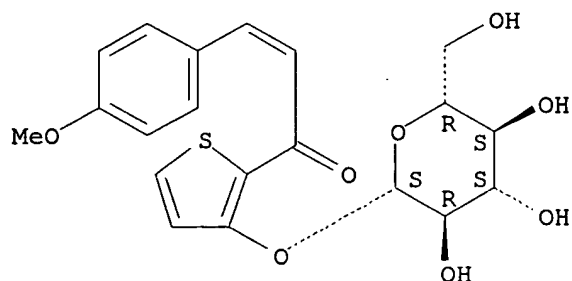
(preparation and therapeutic evaluation of thiophene glycosides for use  
 in the treatment of diabetes or for lowering blood sugar levels)

RN 647833-67-8 CAPLUS

CN 2-Propen-1-one, 1-[3-(β-D-glucopyranosyloxy)-2-thienyl]-3-(4-  
 methoxyphenyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.



IT 647833-62-3P 647833-85-0P 647834-16-0P

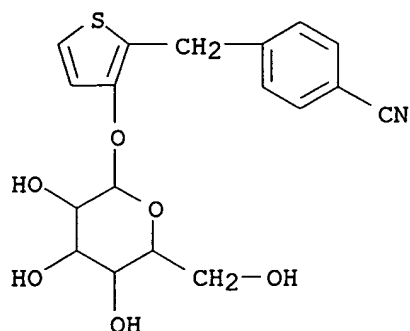
RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic  
 use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or  
 reagent); USES (Uses)

(preparation and therapeutic evaluation of thiophene glycosides for use  
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RN 647833-62-3 CAPLUS

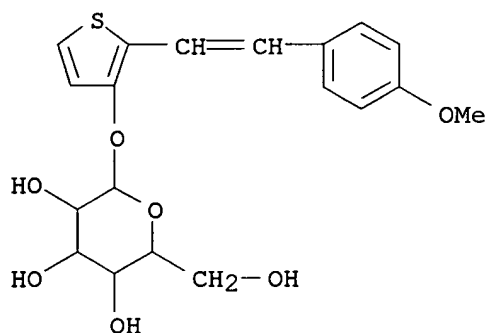
CN Benzonitrile, 4-[[3-(hexopyranosyloxy)-2-thienyl]methyl]- (9CI) (CA  
 INDEX NAME)

10/616945



RN 647833-85-0 CAPLUS

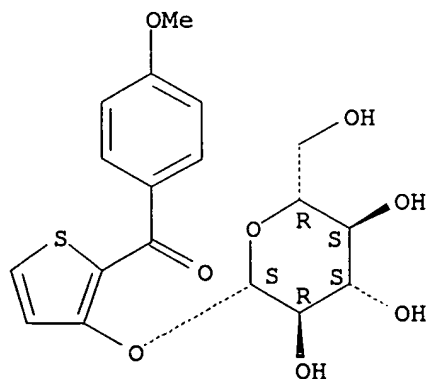
CN Hexopyranoside, 2-[2-(4-methoxyphenyl)ethenyl]-3-thienyl (9CI) (CA INDEX NAME)



RN 647834-16-0 CAPLUS

CN Methanone, [3-(β-D-glucopyranosyloxy)-2-thienyl] (4-methoxyphenyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 647834-05-7P 647834-07-9P 647834-09-1P  
647834-11-5P 647834-18-2P 647834-20-6P  
647834-21-7P 647834-22-8P 647834-23-9P  
647834-24-0P 647834-25-1P 647834-26-2P

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647834-27-3P 647834-28-4P 647834-29-5P  
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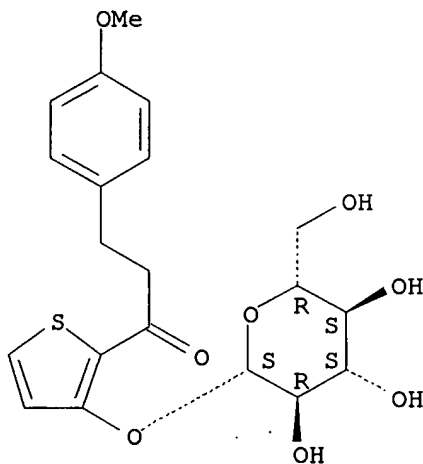
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL  
(Biological study); PREP (Preparation); USES (Uses)

(preparation and therapeutic evaluation of thiophene glycosides for use  
in the treatment of diabetes or for lowering blood sugar levels)

RN 647834-05-7 CAPLUS

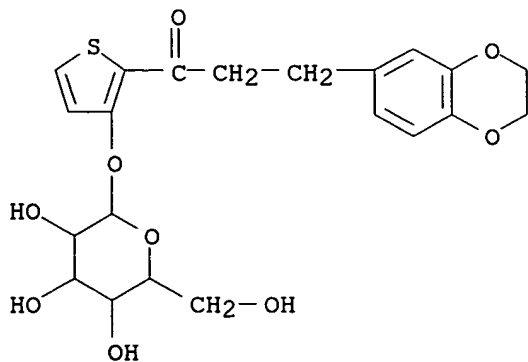
CN 1-Propanone, 1-[3-( $\beta$ -D-glucopyranosyloxy)-2-thienyl]-3-(4-  
methoxyphenyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 647834-07-9 CAPLUS

CN 1-Propanone, 3-(2,3-dihydro-1,4-benzodioxin-6-yl)-1-[3-(  
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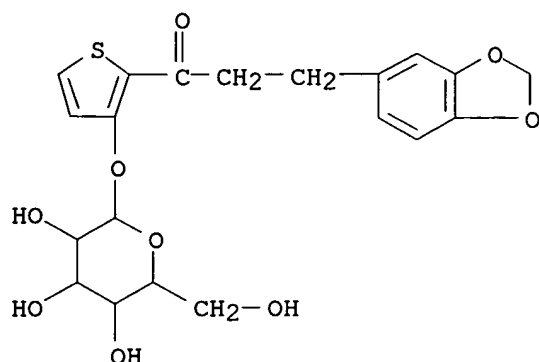


RN 647834-09-1 CAPLUS

Searcher : Shears 571-272-2528

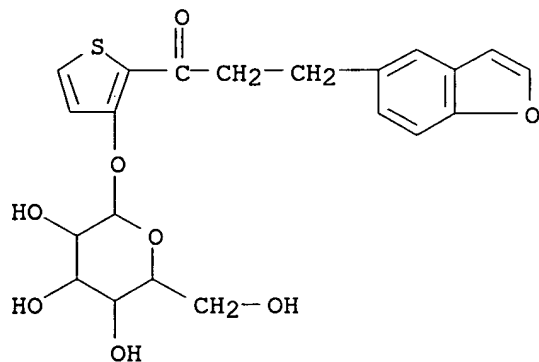
10/616945

CN 1-Propanone, 3-(1,3-benzodioxol-5-yl)-1-[3-(hexopyranosyloxy)-2-thienyl]- (9CI) (CA INDEX NAME)



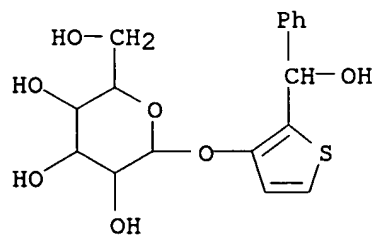
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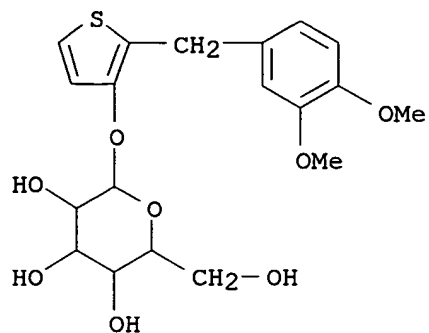
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CN Hexopyranoside, 2-(hydroxyphenylmethyl)-3-thienyl (9CI) (CA INDEX NAME)



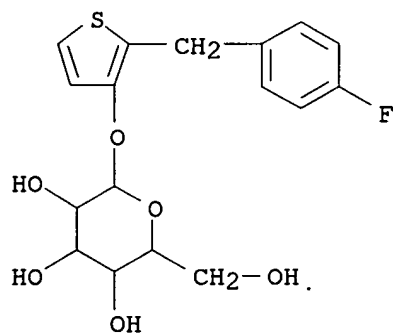
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CN Hexopyranoside, 2-[(3,4-dimethoxyphenyl)methyl]-3-thienyl (9CI) (CA INDEX NAME)



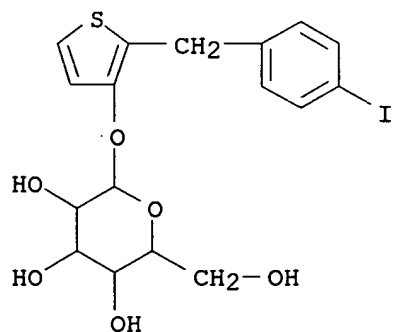
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CN Hexopyranoside, 2-[(4-fluorophenyl)methyl]-3-thienyl (9CI) (CA INDEX NAME)



RN 647834-22-8 CAPLUS

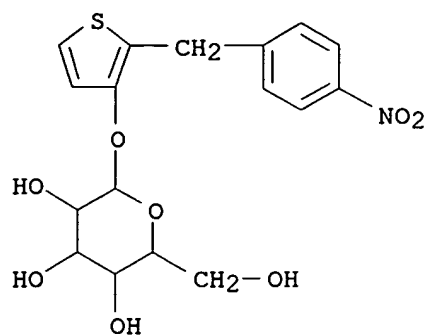
CN Hexopyranoside, 2-[(4-iodophenyl)methyl]-3-thienyl (9CI) (CA INDEX NAME)



RN 647834-23-9 CAPLUS

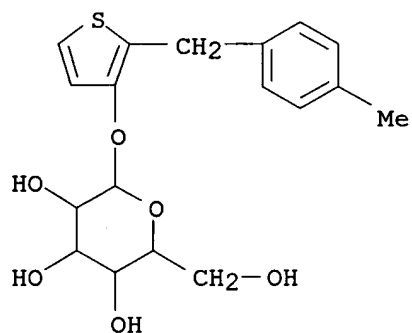
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10/616945



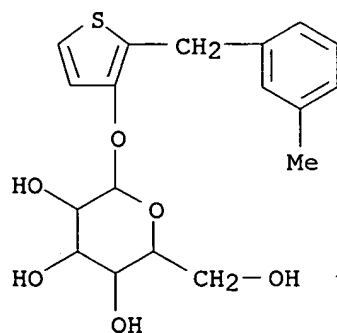
RN 647834-24-0 CAPLUS

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RN 647834-25-1 CAPLUS

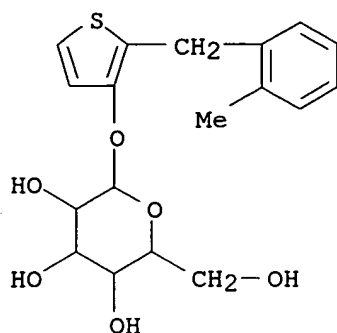
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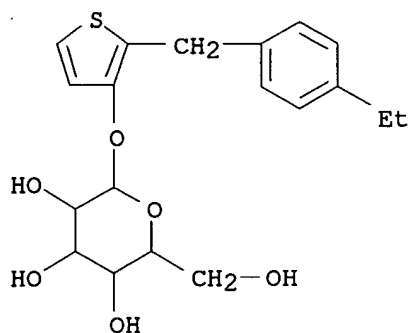
CN Hexopyranoside, 2-[(2-methylphenyl)methyl]-3-thienyl (9CI) (CA INDEX NAME)





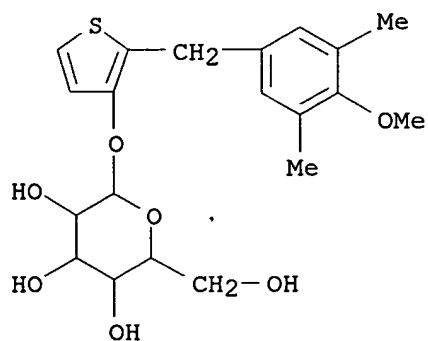
RN 647834-27-3 CAPLUS

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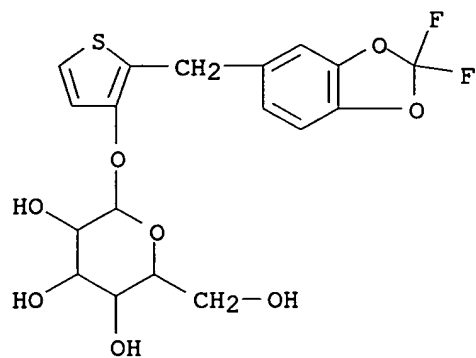
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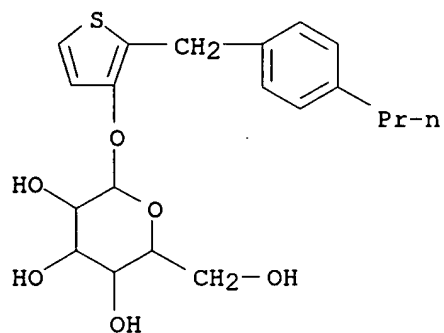
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10/616945



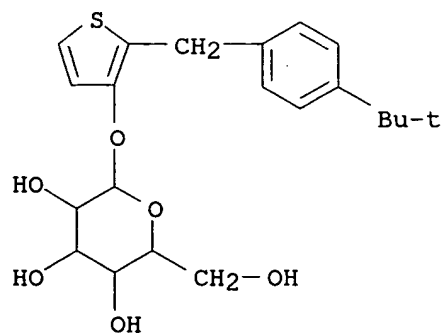
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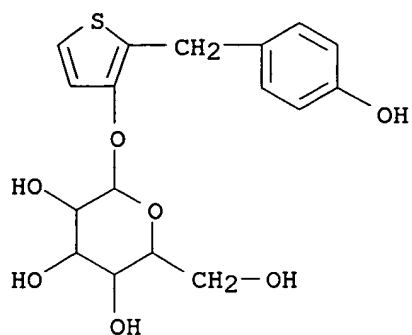
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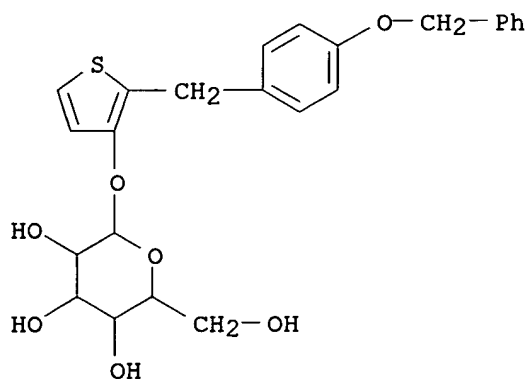
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10/616945



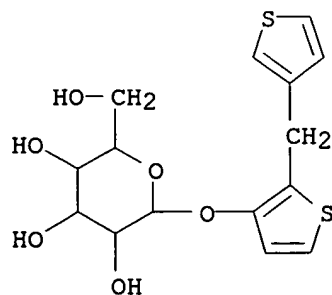
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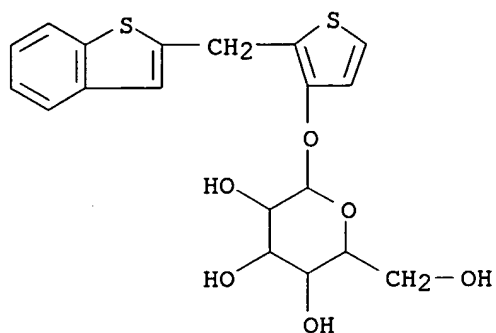
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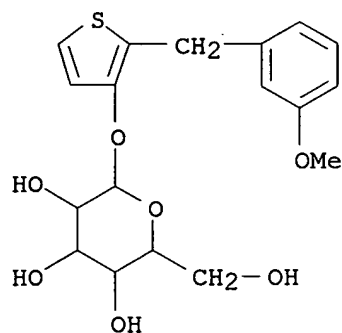
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INDEX NAME)

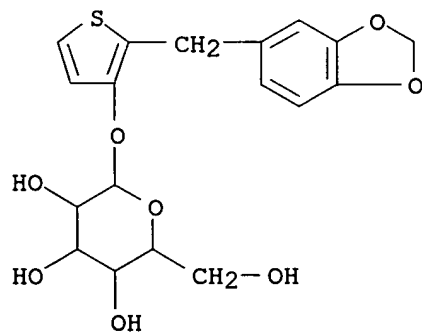
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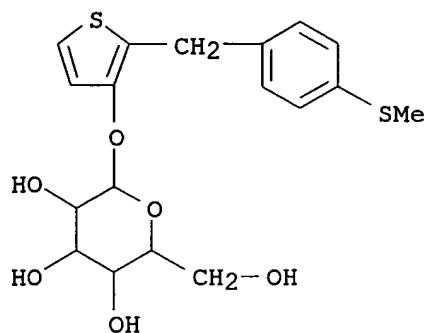
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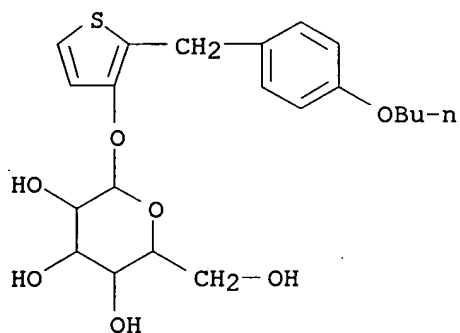


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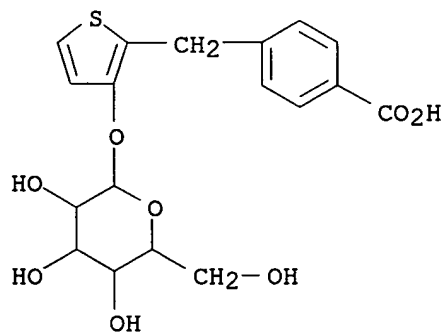
RN 647834-39-7 CAPLUS

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RN 647834-41-1 CAPLUS

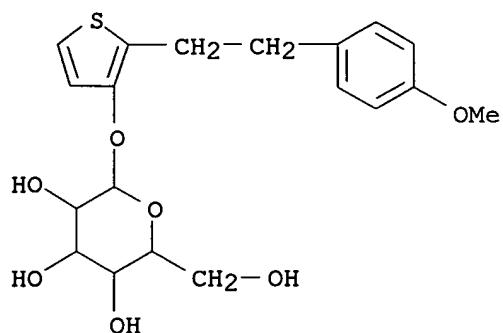
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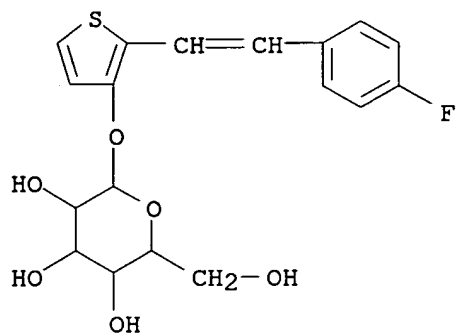
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10/616945



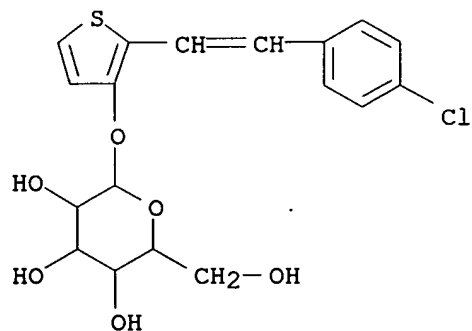
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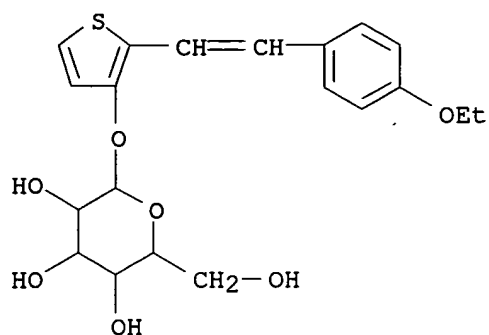
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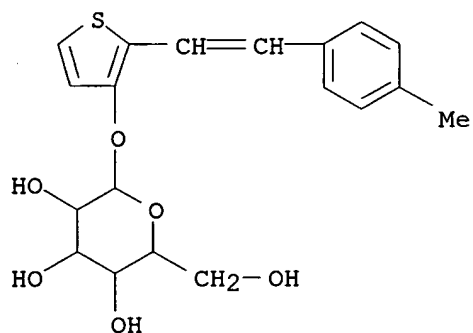
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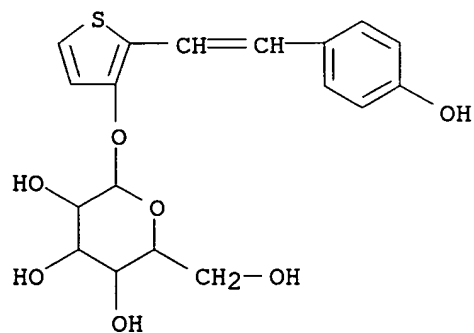
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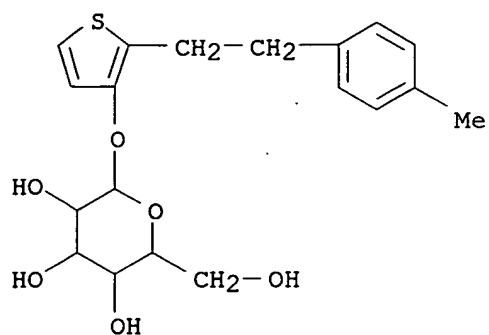


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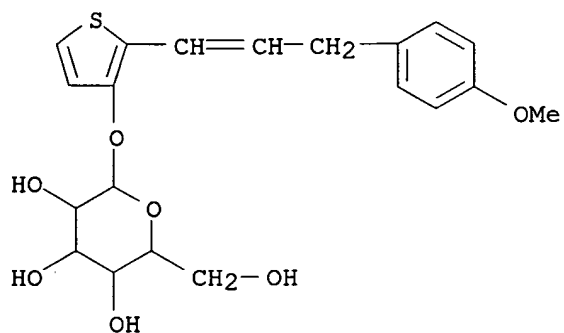
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10/616945



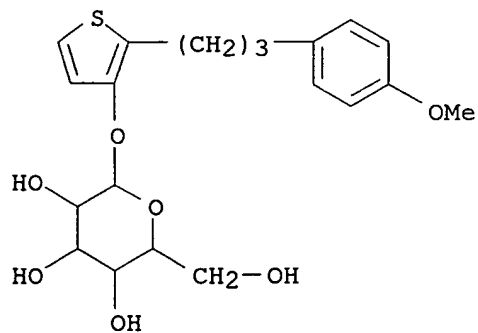
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(CA INDEX NAME)



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INDEX NAME)

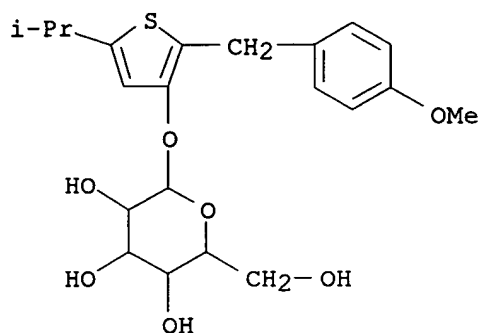


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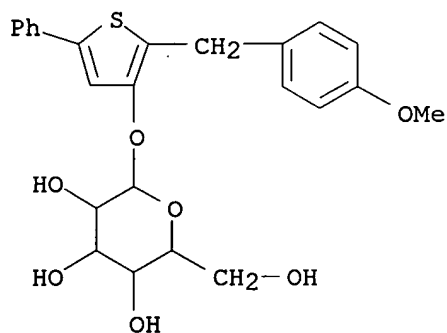


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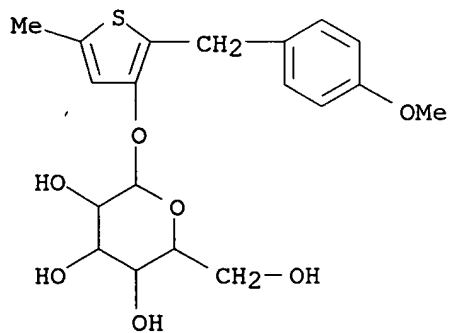
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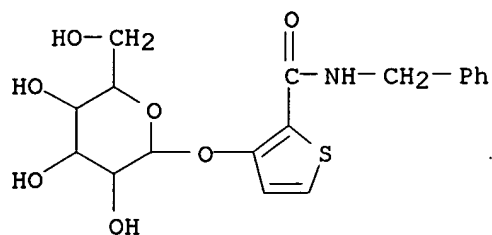
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(CA INDEX NAME)



RN 647834-60-4 CAPLUS

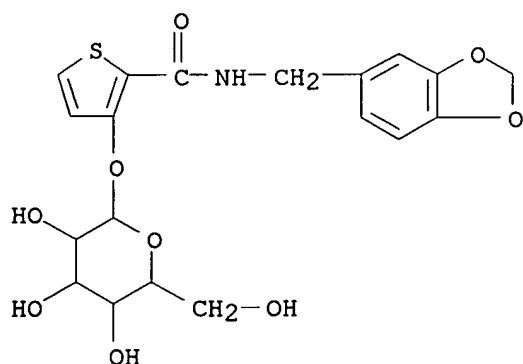
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(CA INDEX NAME)

10/616945



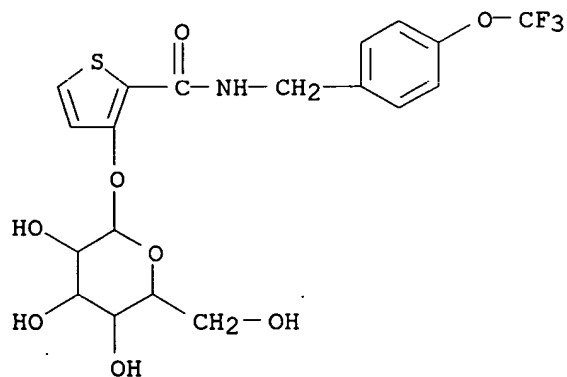
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CN 2-Thiophenecarboxamide, N-(1,3-benzodioxol-5-ylmethyl)-3-(hexopyranosyloxy)- (9CI) (CA INDEX NAME)



RN 647834-63-7 CAPLUS

CN 2-Thiophenecarboxamide, 3-(hexopyranosyloxy)-N-[[4-(trifluoromethoxy)phenyl]methyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

3

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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Searcher : Shears 571-272-2528

FILE COVERS 1907-1966

FILE LAST UPDATED: 01 May 1997 (19970501/UP)

This file contains CAS Registry Numbers for easy and accurate substance identification. Title keywords, authors, patent assignees, and patent information, e.g., patent numbers, are now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

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L6

0 L4

FILE 'USPATFULL' ENTERED AT 09:45:28 ON 19 MAY 2005

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FILE COVERS 1971 TO PATENT PUBLICATION DATE: 17 May 2005 (20050517/PD)

FILE LAST UPDATED: 17 May 2005 (20050517/ED)

HIGHEST GRANTED PATENT NUMBER: US6895596

HIGHEST APPLICATION PUBLICATION NUMBER: US2005102725

CA INDEXING IS CURRENT THROUGH 17 May 2005 (20050517/UPCA)

ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 17 May 2005 (20050517/PD)

REVISED CLASS FIELDS (/NCL) LAST RELOADED: Apr 2005

USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Apr 2005

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>>> USPAT2 is now available.  USPATFULL contains full text of the  <<<
>>> original, i.e., the earliest published granted patents or  <<<
>>> applications.  USPAT2 contains full text of the latest US  <<<
>>> publications, starting in 2001, for the inventions covered in  <<<
>>> USPATFULL.  A USPATFULL record contains not only the original  <<<
>>> published document but also a list of any subsequent  <<<
>>> publications.  The publication number, patent kind code, and  <<<
>>> publication date for all the US publications for an invention  <<<
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>>> records and may be searched in standard search fields, e.g., /PN, <<<
>>> /PK, etc.  <<<
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>>> enter this cluster.  <<<
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>>> classifications, or claims, that may potentially change from  <<<
>>> the earliest to the latest publication.  <<<
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This file contains CAS Registry Numbers for easy and accurate substance identification.

L7

1 L4

L7 ANSWER 1 OF 1 USPATFULL on STN

ACCESSION NUMBER: 2004:178973 USPATFULL

TITLE: Novel thiophene glycoside derivatives, processes

Searcher : Shears 571-272-2528

10/616945

INVENTOR(S): for the preparation, medicaments comprising these compounds, and the use thereof  
Glombik, Heiner, Hofheim, GERMANY, FEDERAL REPUBLIC OF  
Frick, Wendelin, Hunstetten-Beuerbach, GERMANY, FEDERAL REPUBLIC OF  
Heuer, Hubert, Schwabenheim, GERMANY, FEDERAL REPUBLIC OF  
Kramer, Werner, Mainz-Laubenheim, GERMANY, FEDERAL REPUBLIC OF  
Brummerhop, Harm, Frankfurt, GERMANY, FEDERAL REPUBLIC OF  
Plettenburg, Oliver, Hattersheim, GERMANY, FEDERAL REPUBLIC OF

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2004138143	A1	20040715
APPLICATION INFO.:	US 2003-616945	A1	20030711 (10)

	NUMBER	DATE
PRIORITY INFORMATION:	DE 2002-10231370	20020711
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	ROSS J. OEHLER, AVENTIS PHARMACEUTICALS INC., ROUTE 202-206, MAIL CODE: D303A, BRIDGEWATER, NJ, 08807	
NUMBER OF CLAIMS:	17	
EXEMPLARY CLAIM:	1	
LINE COUNT:	1666	
CAS INDEXING IS AVAILABLE FOR THIS PATENT.		
AB	Novel thiophene glycoside derivatives of the formula I ##STR1##	

in which the radicals have the stated meanings, and the physiologically tolerated salts thereof and processes for their preparation are disclosed. The compounds are suitable, for example, as antidiabetics.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

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FILE 'BIOSIS' ENTERED AT 09:45:37 ON 19 MAY 2005  
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L8 0 L4

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FILE CONTENT: 1988-PRESENT (VOL 142 ISS 20) (20050513/ED)

MOST RECENT CITATIONS FOR PATENTS FROM FIVE MAJOR ISSUING AGENCIES  
(COVERAGE TO THESE DATES IS NOT COMPLETE):

Searcher : Shears 571-272-2528

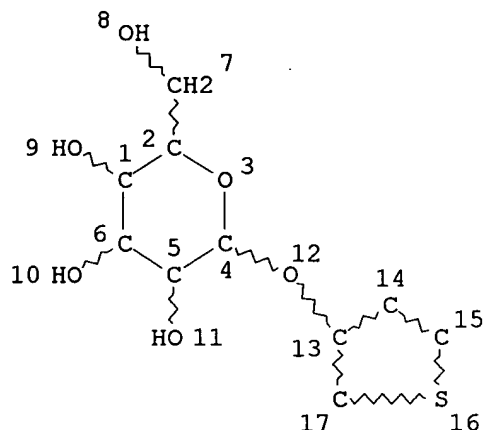
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US 6861546 01 MAR 2005  
DE 10335950 24 FEB 2005  
EP 1518545 30 MAR 2005  
JP 2005051077 24 FEB 2005  
WO 2005035474 21 APR 2005

Structure search limits have been raised. See HELP SLIMIT for the new, higher limits.

New CAS Information Use Policies, enter HELP USAGETERMS for details.

L1 STR



NODE ATTRIBUTES:  
DEFAULT MLEVEL IS ATOM  
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:  
RING(S) ARE ISOLATED OR EMBEDDED  
NUMBER OF NODES IS 17

STEREO ATTRIBUTES: NONE

ATTRIBUTES SPECIFIED AT SEARCH-TIME:  
ECLEVEL IS LIM ON ALL NODES  
ALL RING(S) ARE ISOLATED

L10 2 SEA FILE=MARPAT SSS FUL L1 (MODIFIED ATTRIBUTES)

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2 ANSWERS

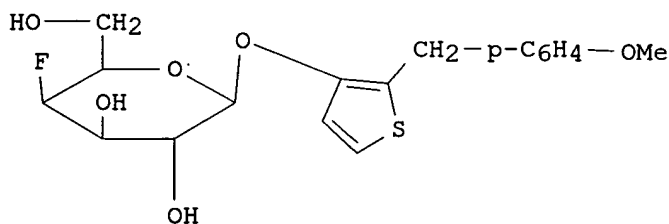
L10 ANSWER 1 OF 2 MARPAT COPYRIGHT 2005 ACS on STN  
ACCESSION NUMBER: 141:38811 MARPAT  
TITLE: Synthesis of fluoroglycoside heterocyclic  
derivatives for use as antidiabetic pharmaceutical  
products  
INVENTOR(S): Frick, Wendelin; Glombik, Heiner; Kramer, Werner;  
Heuer, Hubert; Brummerhop, Harm; Plettenburg,  
Oliver  
PATENT ASSIGNEE(S): Aventis Pharma Deutschland GmbH, Germany  
SOURCE: PCT Int. Appl., 73 pp.

Searcher : Shears 571-272-2528

DOCUMENT TYPE: CODEN: PIXXD2  
 LANGUAGE: Patent  
 FAMILY ACC. NUM. COUNT: 1 German  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004052903	A1	20040624	WO 2003-EP13455	20031128
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10258008	A1	20040708	DE 2002-10258008	20021212
US 2004259819	A1	20041223	US 2003-734573	20031212
PRIORITY APPLN. INFO.:				
			DE 2002-10258008	20021212
			US 2003-466449P	20030429
			WO 2003-EP13455	20031128

GI



AB The invention relates to substituted fluoroglycoside heterocyclic derivs., e.g. (I), to their physiol. tolerated salts, and to methods for the preparation thereof. Title compds. can be used, for example, as antidiabetic agents. Thus, 2,3,6-tri-O-acetyl-4-deoxy-4-fluoro- $\alpha$ -D-galactopyranosyl bromide was reacted with (3-hydroxy-2-thienyl) (4-methoxyphenyl)-methanone and the product deacetylated to give I. In in vitro tests measuring the uptake of  $^{14}$ C-labeled glucose using rabbit gastrointestinal brush-border membrane vesicles, I had IC<sub>50</sub> 0.3  $\mu$ M, compared with 16  $\mu$ M for Phlorizin control.

IC ICM C07H017-00  
 ICS C07H017-02; A61K031-70; A61P003-10

CC 33-3 (Carbohydrates)  
 Section cross-reference(s): 25, 27, 28, 63

ST antidiabetic heterocyclic benzene glycoside fluorinated prepn

IT Alcohols, preparation  
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

- (fluoro; preparation of fluoroglycoside heterocyclic derivs. for use as antidiabetic pharmaceutical products)
- IT Autoimmune disease  
(insulin-dependent diabetes mellitus; preparation of fluoroglycoside heterocyclic derivs. for use as antidiabetic pharmaceutical products)
- IT Diabetes mellitus  
(insulin-dependent; preparation of fluoroglycoside heterocyclic derivs. for use as antidiabetic pharmaceutical products)
- IT Diabetes mellitus  
(non-insulin-dependent; preparation of fluoroglycoside heterocyclic derivs. for use as antidiabetic pharmaceutical products)
- IT Antidiabetic agents  
(preparation of fluoroglycoside heterocyclic derivs. for use as antidiabetic pharmaceutical products)
- IT Glycosides  
Heterocyclic compounds  
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
(preparation of fluoroglycoside heterocyclic derivs. for use as antidiabetic pharmaceutical products)
- IT 702638-21-9P 702638-22-0P 702638-23-1P  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of fluoroglycoside heterocyclic derivs. for use as antidiabetic pharmaceutical products)
- IT 3601-36-3 7803-57-8, Hydrazine hydrate 14049-03-7,  
3-Deoxy-3-fluoro-D-glucose 15836-30-3 19488-48-3 29218-07-3,  
4-Deoxy-4-fluoro-D-glucose 40010-20-6, 4-Deoxy-4-fluoro-D-galactose  
63218-45-1 152595-64-7 202289-38-1 647833-71-4  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(preparation of fluoroglycoside heterocyclic derivs. for use as antidiabetic pharmaceutical products)
- IT 84065-98-5P 98807-61-5P 130818-74-5P 139200-04-7P 148123-78-8P  
461025-92-3P 701936-31-4P 701936-32-5P 701936-33-6P  
701936-34-7P 702638-17-3P 702638-18-4P 702638-19-5P  
702638-20-8P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of fluoroglycoside heterocyclic derivs. for use as antidiabetic pharmaceutical products)
- IT 702638-24-2P 702638-25-3P 702638-26-4P 702638-27-5P  
702638-28-6P 702638-29-7P 702638-30-0P 702638-31-1P  
702638-32-2P 702638-33-3P 702638-34-4P 702638-35-5P  
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RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of fluoroglycoside heterocyclic derivs. for use as antidiabetic pharmaceutical products)

L10 ANSWER 2 OF 2 MARPAT COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 140:111628 MARPAT

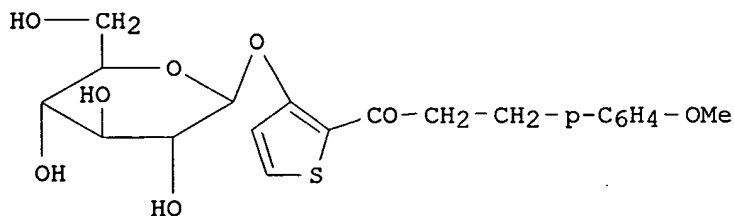
TITLE: Synthesis and therapeutic evaluation of thiophene glycosides for use in the treatment of diabetes or

10/616945

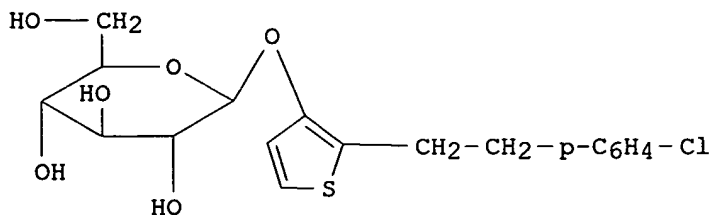
for lowering blood sugar levels  
 INVENTOR(S): Glombik, Heiner; Frick, Wendelin; Heuer, Hubert;  
 Kramer, Werner; Brummerhop, Harm; Plettenburg,  
 Oliver  
 PATENT ASSIGNEE(S): Aventis Pharma Deutschland GmbH, Germany  
 SOURCE: PCT Int. Appl., 84 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004007517	A1	20040122	WO 2003-EP6841	20030627
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10231370	A1	20040205	DE 2002-10231370	20020711
CA 2493391	AA	20040122	CA 2003-2493391	20030627
BR 2003012513	A	20050412	BR 2003-12513	20030627
EP 1523488	A1	20050420	EP 2003-763662	20030627
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
US 2004138143	A1	20040715	US 2003-616945	20030711
PRIORITY APPLN. INFO.:			DE 2002-10231370	20020711
			WO 2003-EP6841	20030627

GI



I



II

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- AB Title compds., e.g. (I), and their physiol.-acceptable salts, were prepared and evaluated for use in lowering blood sugar levels and for use as anti-diabetics. Thus, 2-acetyl-3-hydroxythiophene was reacted with tetra-O-acetyl- $\alpha$ -D-glucopyranosyl bromide and the resulting intermediate O-deprotected to give I. Compound (II) was prepared by similar methods. In in vitro tests measuring the uptake of  $^{14}\text{C}$ -labeled glucose using rabbit, rat, or pig intestinal brush-border membranes, II had  $\text{IC}_{25}$  0.9  $\mu\text{M}$ .
- IC ICM C07H017-00  
ICS A61K031-7042; A61P007-00
- CC 33-3 (Carbohydrates)  
Section cross-reference(s): 1, 27, 63
- ST thiophene glycoside prepn antidiabetic diabetes blood sugar
- IT Autoimmune disease  
(insulin-dependent diabetes mellitus; preparation and therapeutic evaluation of thiophene glycosides for use in the treatment of diabetes or for lowering blood sugar levels)
- IT Diabetes mellitus  
(insulin-dependent; preparation and therapeutic evaluation of thiophene glycosides for use in the treatment of diabetes or for lowering blood sugar levels)
- IT Diabetes mellitus  
(non-insulin-dependent; preparation and therapeutic evaluation of thiophene glycosides for use in the treatment of diabetes or for lowering blood sugar levels)
- IT Antidiabetic agents  
(preparation and therapeutic evaluation of thiophene glycosides for use in the treatment of diabetes or for lowering blood sugar levels)
- IT Glycosides  
Heterocyclic compounds  
RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
(preparation and therapeutic evaluation of thiophene glycosides for use in the treatment of diabetes or for lowering blood sugar levels)
- IT 50-99-7, D-Glucose, biological studies  
RL: PAC (Pharmacological activity); BIOL (Biological study)  
(blood; preparation and therapeutic evaluation of thiophene glycosides for use in the treatment of diabetes or for lowering blood sugar levels)
- IT 647834-13-7P 647834-14-8P 647834-15-9P 647834-17-1P  
647834-19-3P 647834-40-0P 647834-48-8P 647834-49-9P  
647834-50-2P 647834-52-4P 647834-55-7P 647834-59-1P  
647834-61-5P  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation and therapeutic evaluation of thiophene glycosides for use in the treatment of diabetes or for lowering blood sugar levels)
- IT 100-07-2, 4-Methoxybenzoyl chloride 100-46-9, Benzylamine, reactions  
122-04-3, 4-Nitrobenzoyl chloride 123-11-5, 4-Methoxybenzaldehyde, reactions 572-09-8 5118-06-9 5556-07-0 6638-79-5,  
N,O-Dimethylhydroxylamine hydrochloride 13139-86-1,  
4-Methoxyphenylmagnesium bromide 17573-92-1, 3-Methoxythiophene  
113589-30-3  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(preparation and therapeutic evaluation of thiophene glycosides for use

in the treatment of diabetes or for lowering blood sugar levels)

IT 5118-07-0P 5118-08-1P 35134-07-7P 647833-64-5P 647833-67-8P  
 647833-69-0P 647833-71-4P 647833-73-6P 647833-78-1P  
 647833-80-5P 647833-82-7P 647833-87-2P 647833-89-4P  
 647833-91-8P 647833-93-0P 647833-95-2P 647833-98-5P  
 647834-01-3P 647834-03-5P 647834-64-8P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);  
 RACT (Reactant or reagent)  
 (preparation and therapeutic evaluation of thiophene glycosides for use  
 in the treatment of diabetes or for lowering blood sugar levels)

IT 647833-62-3P 647833-85-0P 647834-16-0P  
 RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic  
 use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or  
 reagent); USES (Uses)  
 (preparation and therapeutic evaluation of thiophene glycosides for use  
 in the treatment of diabetes or for lowering blood sugar levels)

IT 647834-05-7P 647834-07-9P 647834-09-1P 647834-11-5P  
 647834-18-2P 647834-20-6P 647834-21-7P 647834-22-8P  
 647834-23-9P 647834-24-0P 647834-25-1P 647834-26-2P  
 647834-27-3P 647834-28-4P 647834-29-5P 647834-30-8P  
 647834-31-9P 647834-32-0P 647834-33-1P 647834-34-2P  
 647834-35-3P 647834-36-4P 647834-37-5P 647834-38-6P  
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 647834-44-4P 647834-45-5P 647834-46-6P 647834-47-7P  
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 647834-57-9P 647834-58-0P 647834-60-4P 647834-62-6P  
 647834-63-7P  
 RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL  
 (Biological study); PREP (Preparation); USES (Uses)  
 (preparation and therapeutic evaluation of thiophene glycosides for use  
 in the treatment of diabetes or for lowering blood sugar levels)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR  
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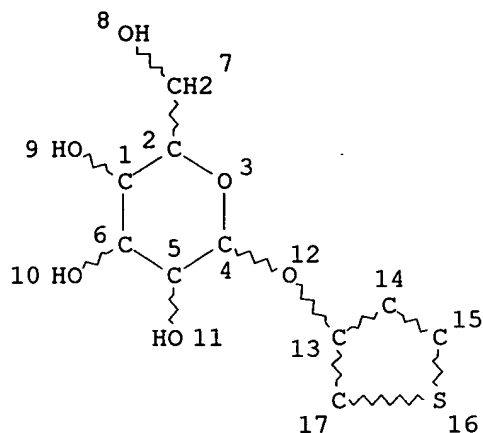
MOST RECENT CITATIONS FOR PATENTS FROM FIVE MAJOR ISSUING AGENCIES  
 (COVERAGE TO THESE DATES IS NOT COMPLETE):

US 6797117 28 SEP 2004  
 DE 10322109 04 MAY 2004  
 EP 1491180 29 DEC 2004  
 JP 2004196848 15 JUL 2004  
 WO 2005027842 31 MAR 2005

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L1 STR

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NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 17

STEREO ATTRIBUTES: NONE

ATTRIBUTES SPECIFIED AT SEARCH-TIME:

ECLEVEL IS LIM ON ALL NODES

ALL RING(S) ARE ISOLATED

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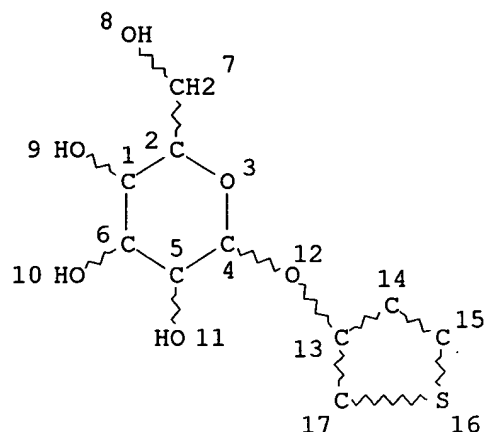
0 ANSWERS

SEARCH TIME: 00.00.01

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10/616945

=> d que stat l4; d his ful  
L1 STR



NODE ATTRIBUTES:  
DEFAULT MLEVEL IS ATOM  
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:  
RING(S) ARE ISOLATED OR EMBEDDED  
NUMBER OF NODES IS 17

STEREO ATTRIBUTES: NONE

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L4 58 SEA FILE=REGISTRY ABB=ON PLU=ON L3 AND NR=>3

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DEL HIS Y

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L2 1 SEA SSS SAM L1  
L3 58 SEA SSS FUL L1

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L\*\*\* DEL 1 S L3  
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FILE 'REGISTRY' ENTERED AT 09:44:38 ON 19 MAY 2005  
L4 58 SEA ABB=ON PLU=ON L3 AND NR=>3

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FILE 'CAPLUS' ENTERED AT 09:44:56 ON 19 MAY 2005  
L5 1 SEA ABB=ON PLU=ON L4  
D IBIB ABS HITSTR

FILE 'CAOLD' ENTERED AT 09:45:24 ON 19 MAY 2005  
L6 0 SEA ABB=ON PLU=ON L4

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L7 FILE 'USPATFULL' ENTERED AT 09:45:28 ON 19 MAY 2005  
1 SEA ABB=ON PLU=ON L4  
D IBIB ABS

L8 FILE 'MEDLINE, BIOSIS, EMBASE' ENTERED AT 09:45:37 ON 19 MAY 2005  
0 SEA ABB=ON PLU=ON L4

FILE 'MARPAT' ENTERED AT 09:45:42 ON 19 MAY 2005  
D L1  
L9 0 SEA SSS SAM L1 (MODIFIED ATTRIBUTES)  
L10 2 SEA SSS FUL L1 (MODIFIED ATTRIBUTES)  
D QUE STAT  
D 1-2 .BEVMAR

L11 FILE 'MARPATPREV' ENTERED AT 09:46:38 ON 19 MAY 2005  
0 SEA SSS FUL L1 (MODIFIED ATTRIBUTES)  
D QUE STAT

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D QUE STAT L4

#### FILE REGISTRY

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 18 MAY 2005 HIGHEST RN 850688-83-4  
DICTIONARY FILE UPDATES: 18 MAY 2005 HIGHEST RN 850688-83-4

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\*  
\* The CA roles and document type information have been removed from \*  
\* the IDE default display format and the ED field has been added, \*  
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\* available and contains the CA role and document type information. \*  
\*  
\*\*\*\*\*

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:  
<http://www.cas.org/ONLINE/DBSS/registryss.html>

#### FILE CAPLUS

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FILE COVERS 1907 - 19 May 2005 VOL 142 ISS 21  
FILE LAST UPDATED: 18 May 2005 (20050518/ED)

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FILE CAOLD  
FILE COVERS 1907-1966  
FILE LAST UPDATED: 01 May 1997 (19970501/UP)

This file contains CAS Registry Numbers for easy and accurate substance identification. Title keywords, authors, patent assignees, and patent information, e.g., patent numbers, are now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

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FILE USPATFULL  
FILE COVERS 1971 TO PATENT PUBLICATION DATE: 17 May 2005 (20050517/PD)  
FILE LAST UPDATED: 17 May 2005 (20050517/ED)  
HIGHEST GRANTED PATENT NUMBER: US6895596  
HIGHEST APPLICATION PUBLICATION NUMBER: US2005102725  
CA INDEXING IS CURRENT THROUGH 17 May 2005 (20050517/UPCA)  
ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 17 May 2005 (20050517/PD)  
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Apr 2005  
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Apr 2005

>>> USPAT2 is now available. USPATFULL contains full text of the  
>>> original, i.e., the earliest published granted patents or  
>>> applications. USPAT2 contains full text of the latest US  
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>>> classifications, or claims, that may potentially change from

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>>> the earliest to the latest publication.

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FILE MEDLINE

FILE LAST UPDATED: 18 MAY 2005 (20050518/UP). FILE COVERS 1950 TO DA

On December 19, 2004, the 2005 MeSH terms were loaded.

The MEDLINE reload for 2005 is now available. For details enter HELP RLOAD at an arrow prompt (=>). See also:

<http://www.nlm.nih.gov/mesh/>  
[http://www.nlm.nih.gov/pubs/techbull/nd04/nd04\\_mesh.html](http://www.nlm.nih.gov/pubs/techbull/nd04/nd04_mesh.html)

OLDMEDLINE now back to 1950.

MEDLINE thesauri in the /CN, /CT, and /MN fields incorporate the MeSH 2005 vocabulary.

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FILE BIOSIS

FILE COVERS 1969 TO DATE.

CAS REGISTRY NUMBERS AND CHEMICAL NAMES (CNs) PRESENT FROM JANUARY 1969 TO DATE.

RECORDS LAST ADDED: 18 May 2005 (20050518/ED)

FILE RELOADED: 19 October 2003.

FILE EMBASE

FILE COVERS 1974 TO 12 May 2005 (20050512/ED)

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FILE MARPAT

FILE CONTENT: 1988-PRESENT (VOL 142 ISS 20) (20050513/ED)

MOST RECENT CITATIONS FOR PATENTS FROM FIVE MAJOR ISSUING AGENCIES (COVERAGE TO THESE DATES IS NOT COMPLETE):

US 6861546 01 MAR 2005  
DE 10335950 24 FEB 2005  
EP 1518545 30 MAR 2005  
JP 2005051077 24 FEB 2005  
WO 2005035474 21 APR 2005

Structure search limits have been raised. See HELP SLIMIT for the new higher limits.

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